WHAT IS CLAIMED IS:

1. A method for alkylating a glycopeptide that comprises a saccharide-amine comprising:

combining an aldehyde or ketone, a suitable base, and the glycopeptide or a salt thereof, to provide a reaction mixture;

acidifying the reaction mixture; and

combining the reaction mixture with a suitable reducing agent, to provide a glycopeptide that is alkylated at the saccharide-amine.

2. The method of claim 1 wherein the glycopeptide comprises at least one amino group other than the saccharide-amine.

3. The method of claim 2 wherein reductive alkylation at the saccharide-amine is favored over reductive alkylation at the other amino group of the glycopeptide by at least about 10:1.

4. The method of claim 2 wherein reductive alkylation at the saccharide-amine is favored over reductive alkylation at the other amino group of the glycopeptide by at least about 20:1.

5. The method of claim 1 wherein the reductive alkylation is carried out in the presence of a suitable solvent.

6. The method of claim 5 wherein the solvent is a halogenated hydrocarbon, a linear or branched ether, an aromatic hydrocarbon, an alcohol, dimethylsulfoxide, N,N-dimethylformamide, acetonitrile, water, 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-

pyrimidone, tetramethyl urea, N,N-dimethylacetamide, diethylformamide, 1-methyl-2-pyrrolidinone, tetramethylenesulfoxide, glycerol, ethyl acetate, isopropyl acetate, N,N-dimethylpropylene urea, or dioxane, or a mixture thereof.

7. The method of claim 6 wherein the solvent is acetonitrile, water, DMF, or methanol, or mixtures thereof.

8. The method of claim 1 wherein the reaction mixture that is combined with the reducing agent comprises a protic solvent.

9. The method of claim 1 wherein the reductive alkylation is carried out at a temperature in a range of about 0 °C to about 50 °C.

10. The method of claim 1 wherein the base is a tertiary amine.

11. The method of claim 1 wherein the acid is a carboxylic acid or a mineral acid.

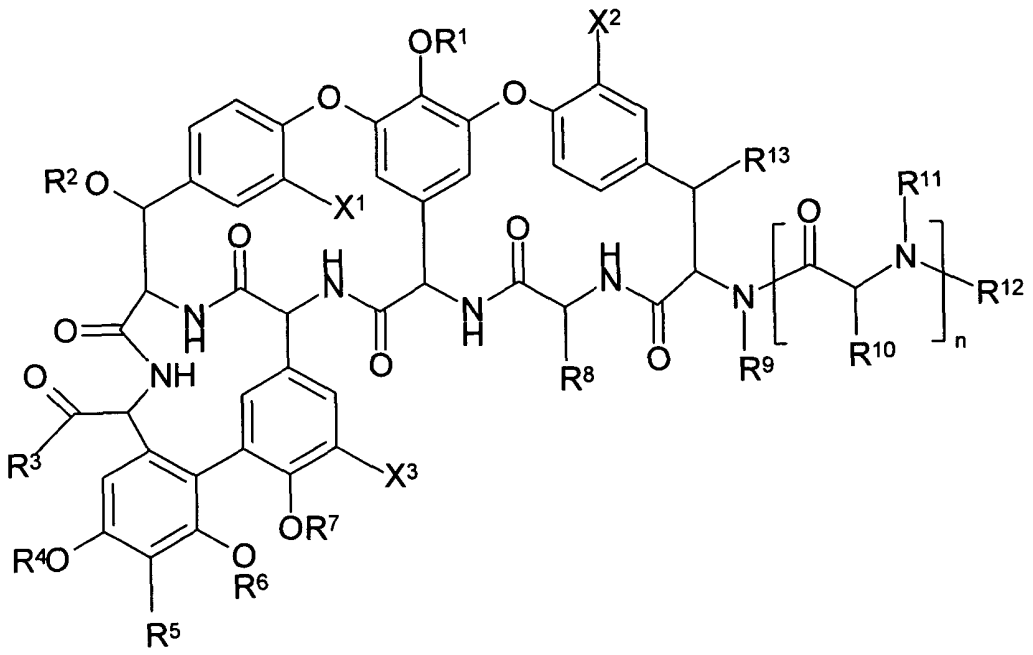
12. The method of claim 1 wherein the acid is trifluoroacetic acid.

13. The method of claim 1 wherein the reducing agent is sodium cyanoborohydride, sodium triacetoxyborohydride, pyridine/borane, sodium borohydride, or zinc borohydride.

14. The method of claim 1 wherein the reducing agent is a hydrogen source and a transition metal catalyst.

15. The method of claim 1 further comprising isolating the alkylated glycopeptide.

16. A method for preparing an alkylated glycopeptide comprising: combining an aldehyde or ketone, a suitable base, and a compound of formula I:



(I)

wherein:

R^1 is an amino saccharide group;

R^2 is hydrogen or a saccharide group;

R^3 is R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^e$, or $-O-R^e$;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-C(O)R^d$ and a saccharide group;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^e$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, and $-CH(R^c)-NR^c-R^a-C(=O)-R^x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-C(O)R^d$ and a saccharide group;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,

$-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, and $-C(NH)NR^cR^c$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

R^x is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;

X^1, X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$, -C(=O)-, and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2; and

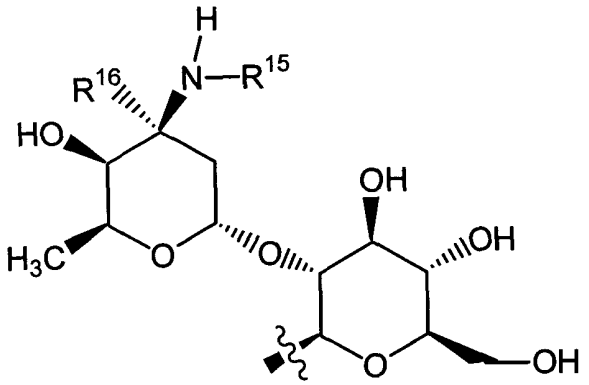
x is 1 or 2;

or a stereoisomer or salt thereof; to provide a reaction mixture;

acidifying the reaction mixture; and

combining the reaction mixture with a suitable reducing agent, to provide the corresponding glycopeptide alkylated at the amino group of the amino saccharide.

17. The method of claim 16 wherein R^1 is an amino saccharide of formula (III):



(III)

wherein R^{15} is H; and R^{16} is hydrogen or methyl.

18. The method of claim 16 wherein R^2, R^4, R^6, and R^7 are each hydrogen.

19. The method of claim 16 wherein R^3 is -OH.

20. The method of claim 16 wherein R^5 is hydrogen, $-CH_2-NHR^c$, $-CH_2-NR^cR^e$ or $-CH_2-NH-R^a-Y-R^b-(Z)_x$.

21. The method of claim 16 wherein the alkylated glycopeptide is a compound of formula I wherein R^1 is an amino saccharide wherein the saccharide-amine is substituted with $-R^a-Y-R^b-(Z)_x$, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, or substituted cycloalkenyl.

22. The method of claim 16 wherein the alkylated glycopeptide is a compound of formula I wherein R^1 is an amino saccharide wherein the saccharide-amine is substituted with $-CH_2CH_2-NH-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$; $-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$; $-CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_{10}CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_3-CH=CH-(CH_2)_4CH_3$ (*trans*); $-CH_2CH_2CH_2CH_2-S-(CH_2)_7CH_3$; $-CH_2CH_2-S(O)-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_6Ph$; $-CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2-NH-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-NH-CH_2$-4-[4-$(CH_3)_2CHCH_2$-]-Ph; $-CH_2CH_2-NH-CH_2$-4-(4-CF_3-Ph)-Ph; $-CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-[3,4-di-Cl-PhCH_2O-)-Ph; $-CH_2CH_2-NHSO_2-CH_2$-4-[4-(4-Ph)-Ph]-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(Ph-C≡C-)-Ph; $-CH_2CH_2CH_2-NHSO_2$-4-(4-Cl-Ph)-Ph; or $-CH_2CH_2CH_2-NHSO_2$-4-(naphth-2-yl)-Ph.

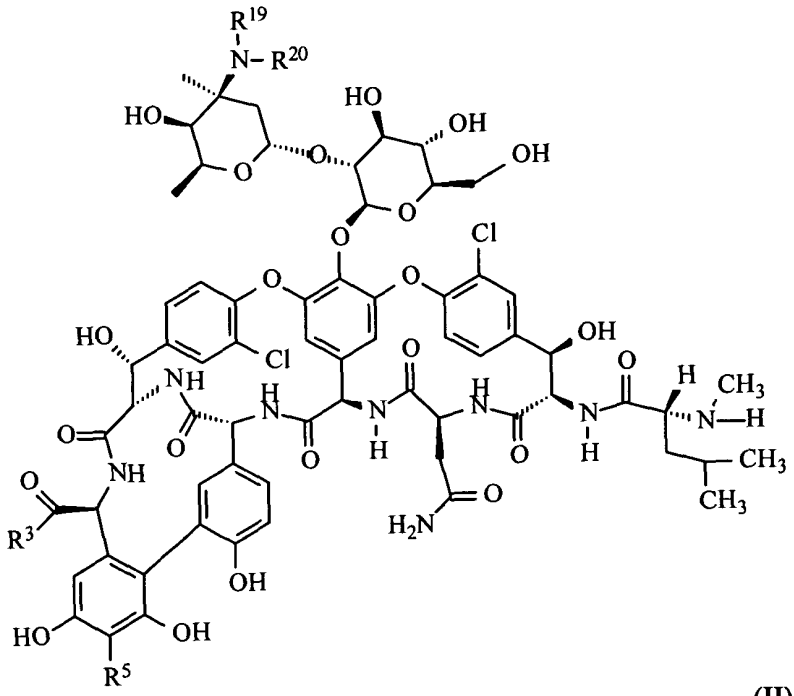
23. The method of claim 17 wherein the alkylated glycopeptide is a compound of formula I wherein R^1 is a saccharide group of formula III, wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl,

substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl.

24. The method of claim 23 wherein R^{15} is $-CH_2CH_2-NH-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$; $-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$; $-CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_{10}CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_3-CH=CH-(CH_2)_4CH_3$ (*trans*); $-CH_2CH_2CH_2CH_2-S-(CH_2)_7CH_3$; $-CH_2CH_2-S(O)-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_6Ph$; $-CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2-NH-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-NH-CH_2$-4-[4-$(CH_3)_2CHCH_2$-]-Ph; $-CH_2CH_2-NH-CH_2$-4-(4-CF_3-Ph)-Ph; $-CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-[3,4-di-Cl-PhCH_2O-)-Ph; $-CH_2CH_2-NHSO_2-CH_2$-4-[4-(4-Ph)-Ph]-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(Ph-C≡C-)-Ph; $-CH_2CH_2CH_2-NHSO_2-4$-(4-Cl-Ph)-Ph; or $-CH_2CH_2CH_2-NHSO_2-4$-(naphth-2-yl)-Ph.

25. A method for preparing an alkylated glycopeptide comprising:

combining an aldehyde or ketone, a suitable base, and a compound of formula II:



(II)

wherein:

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^e$, or $-O-R^e$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^e$, and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)$;

R^{19} and R^{20} are each hydrogen;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

R^e is a saccharide group;

each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$ and $-NR^cSO_2NR^c-$;

each *Z* is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic; and

x is 1 or 2; or a stereoisomer or salt thereof; to provide a reaction mixture;

acidifying the reaction mixture; and

combining the reaction mixture with a suitable reducing agent, to provide the corresponding alkylated glycopeptide wherein R^{20} is $-R^a-Y-R^b-(Z)_x$, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, or substituted cycloalkenyl.

26. The method of claim 25 wherein R^{20} is $-CH_2CH_2-NH-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$; $-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$; $-CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_{10}CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_3-$

CH=CH-$(CH_2)_4CH_3$ (*trans*); -$CH_2CH_2CH_2CH_2$-S-$(CH_2)_7CH_3$; -CH_2CH_2-S(O)-$(CH_2)_9CH_3$; -CH_2CH_2-S-$(CH_2)_6$Ph; -CH_2CH_2-S-$(CH_2)_8$Ph; -$CH_2CH_2CH_2$-S-$(CH_2)_8$Ph; -CH_2CH_2-NH-CH_2-4-(4-Cl-Ph)-Ph; -CH_2CH_2-NH-CH_2-4-[4-$(CH_3)_2CHCH_2$-]-Ph; -CH_2CH_2-NH-CH_2-4-(4-CF_3-Ph)-Ph; -CH_2CH_2-S-CH_2-4-(4-Cl-Ph)-Ph; -CH_2CH_2-S(O)-CH_2-4-(4-Cl-Ph)-Ph; -$CH_2CH_2CH_2$-S-CH_2-4-(4-Cl-Ph)-Ph; -$CH_2CH_2CH_2$-S(O)-CH_2-4-(4-Cl-Ph)-Ph; -$CH_2CH_2CH_2$-S-CH_2-4-[3,4-di-Cl-PhCH_2O-)-Ph; -CH_2CH_2-$NHSO_2$-CH_2-4-[4-(4-Ph)-Ph]-Ph; -$CH_2CH_2CH_2$-$NHSO_2$-CH_2-4-(4-Cl-Ph)-Ph; -$CH_2CH_2CH_2$-$NHSO_2$-CH_2-4-(Ph-C≡C-)-Ph; -$CH_2CH_2CH_2$-$NHSO_2$-4-(4-Cl-Ph)-Ph; or -$CH_2CH_2CH_2$-$NHSO_2$-4-(naphth-2-yl)-Ph.

27. The method of claim 1, further comprising preparing a pharmaceutically acceptable salt of the alkylated glycopeptide.

28. The method of claim 1, further comprising, combining a pharmaceutically acceptable carrier with the alkylated glycopeptide to provide a pharmaceutical composition.

29. The method of claim 27, further comprising, combining a pharmaceutically acceptable carrier with the salt, to provide a pharmaceutical composition.